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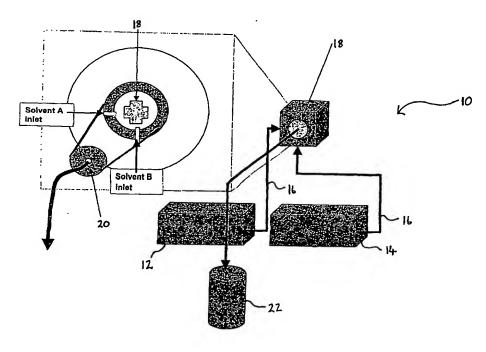
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#### (54) Title: PHARMACEUTICAL COMPOSITION



(57) Abstract: This invention relates to pharmaceutical formulations comprising particles with a substantially non-hygroscopic inner crystalline core and an outer coating comprising at least one bioactive molecule. The invention also relates to methods of forming particles comprising a substantially non-hygroscopic inner crystalline core and an outer coating comprising at least one bioactive molecule.



AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

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A. CLASSIFICATION OF SUBJECT MATTER IPC 7 A61K9/16

According to International Patent Classification (IPC) or to both national classification and IPC

#### **B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols) IPC 7 - A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ

C. DOCUM	ENTS CONSIDERED TO BE RELEVANT	
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to daim No.
		riolovani to damino.
P,X	WO 03/080034 A (MUELLER BERND W; PHARMATECH GMBH (DE); RASENACK NORBERT (DE)) 2 October 2003 (2003-10-02) page 11, lines 11-21; claims 1-6; example 11 page 15, lines 8-33	1–16
X	DE 199 25 184 A (SCHERING AG) 30 November 2000 (2000-11-30) column 4, line 15 - column 6, line 24; claims 1-8	1-21
A	WO 01/32125 A (SAVAGE ANDREW PATRICK; GLAXO GROUP LTD (GB); FERRIE ALAN RONALD (GB)) 10 May 2001 (2001-05-10) claims 1-10	1–22

Further documents are listed in the continuation of box C.	χ Patent family members are listed in annex.
Special categories of cited documents:	
*A* document defining the general state of the art which is not considered to be of particular relevance  *E* earlier document but published on or after the international filing date  *L* document which may throw doubts on priority claim(s) or which is clied to establish the publication date of another citation or other special reason (as specified)  *O* document referring to an oral disclosure, use, exhibition or other means  *P* document published prior to the international filing date but later than the priority date claimed	<ul> <li>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</li> <li>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</li> <li>"&amp;" document member of the same patent family</li> </ul>
Date of the actual completion of the international search	Date of mailing of the International search report
27 July 2004	26/08/2004
Name and mailing address of the ISA  European Patent Office, P.B. 5818 Patentlaan 2  NL – 2280 HV Rijswijk	Authorized officer
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Category ° Relevant to claim No. US 5 945 126 A (MURTAGH JAMES ET AL) 31 August 1999 (1999-08-31) claims 1-8 X 1-22

ternational application No. PCT/GB2004/000044

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)							
This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:							
1. X Claims Nos.: 99 because they relate to subject matter not required to be searched by this Authority, namely:  Rule 39.1(iv) PCT - Method for treatment of the human or animal body by therapy							
2. Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:							
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).							
Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)							
This International Searching Authority found multiple inventions in this international application, as follows:							
1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.							
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.							
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:							
No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.:							
Remark on Protest  The additional search fees were accompanied by the applicant's protest.  No protest accompanied the payment of additional search fees.							

----ational Application No

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
WO 03080034	Α	02-10-2003	DE WO	10214031 A1 03080034 A2	19-02-2004 02-10-2003
DE 19925184	A 	30-11-2000	DE AT AU WO DE DK EP JP	19925184 A1 261337 T 5804300 A 0072955 A1 50005599 D1 1180062 T3 1180062 A1 2003500202 T	30-11-2000 15-03-2004 18-12-2000 07-12-2000 15-04-2004 05-07-2004 20-02-2002 07-01-2003
WO 0132125	Α	10-05-2001	AU AU BR CA CZ EP WO HU JP NO NZ ZA	768915 B2 1160401 A 0015271 A 2389908 A1 1409627 T 20021555 A3 1225875 A2 0132125 A2 0203278 A2 2003512892 T 20022059 A 518565 A 200203434 A	08-01-2004 14-05-2001 31-12-2002 10-05-2001 09-04-2003 13-11-2002 31-07-2002 10-05-2001 28-01-2003 08-04-2003 14-06-2002 30-04-2004 25-02-2003
US 5945126	Α	31-08-1999	CA EP. JP WO	2278744 A1 0994695 A1 2001512461 T 9835654 A1	20-08-1998 26-04-2000 21-08-2001 20-08-1998